### In the claims:

#### Claims 1-14 - Cancelled

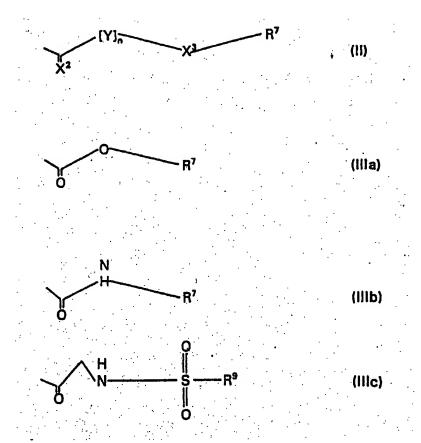
15. (Currently amended) The use of A pharmaceutical composition comprising at least one compound compounds of the formula (I)

$$(I)$$
 $(I)$ 
 $(I)$ 
 $(I)$ 

- Ar is an aromatic or heteroaromatic ring system having a single ring;
- X<sup>1</sup> is NR<sup>3</sup>R<sup>4</sup>, OR<sup>3</sup>, SR<sup>3</sup>, COOR<sup>3</sup>, CONR<sup>3</sup>R<sup>4</sup> or COR<sup>5</sup>, where
  - R<sup>3</sup> is H or a group of the formula II, IIIa, IIIb or IIIc:



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## where

- $X^2$  is NH, NR<sup>4</sup>, O or S,
- X<sup>3</sup> is NH, NR<sup>4</sup>, O, S, CO, COO, CONH OR CONR<sup>4</sup>,
- Y is  $C(R^8)_2$ ,
- R<sup>4</sup> is H or an alkyl, alkenyl or alkynyl radical,



R<sup>7</sup> is H or an alkyl, alkenyl, alkynyl, aryl or/and heteroaryl radical or - SO<sub>2</sub>-R<sup>9</sup>,

R<sup>8</sup> is in each case independently H, halogen or an alkyl, alkenyl, alkynyl, aryl or/and heteroaryl radical,

R<sup>9</sup> is H or an alkyl, alkenyl, alkynyl, aryl or/and heteroaryl radical and is an integer from 0 to 2,

R<sup>4</sup> is as defined above,

R<sup>5</sup> is H, an alkyl, alkenyl, alkynyl, carboxyalkyl, carboxyalkenyl, carboxyalkynyl, carboxyaryl or carboxyheteroaryl radical;

R<sup>2</sup> is halogen,  $C(R^6)_3$ ,  $C_2(R^6)_5$ ,  $OC(R^6)_3$  or  $OC^2(R^6)_5$ , where

R<sup>6</sup> is in each case independently H or halogen<del>, in particular F</del>; and m is an integer from 0 to 4;

or salts of said <u>at least one</u> compound <del>for preparing an agent for inhibition of the urokinase plasminogen activator, and</del>

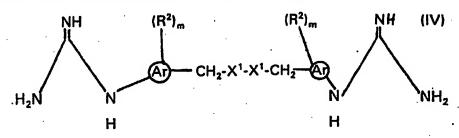
#### a pharmaceutically acceptable carrier therefor.

- 16. (Currently amended) The use as claimed in A pharmaceutical composition according to claim 15, in which Ar is a benzene ring.
- 17. (Currently amended) The use as claimed in A pharmaceutical composition according to claim 16, in which the substituents -CH<sub>2</sub>X<sup>1</sup> and -NHC(NH)NH<sub>2</sub> are arranged in a para position to each other.
- 18. (Currently amended) The use as claimed in A pharmaceutical composition according to claim 15, in which R<sup>7</sup> and R<sup>9</sup> are selected from the group comprising at least one aryl, in particular phenyl radicals and at least one tertiary alkyl radical radicals and or at least one cycloalkyl radicals



such as adamanty.

# 19. (Currently amended) The use of A pharmaceutical composition comprising at least one compound compounds of the formula (IV)



in which

X¹ is in each case independently NR³R⁴, OR³, SR³, COOR³, CONR³R⁴ or COR⁵, with the proviso that the two arylguanidine groups are linked to one another via the substituents CH₀X⁴,

where

R<sup>3</sup> is in each case independently H or any organic radical,

R<sup>4</sup> is in each case independently H or an alkyl, alkenyl or alkynyl radical;

Ar is in each case independently an aromatic or heteroaromatic ring system,

R<sup>2</sup> is in each case independently halogen,  $C(R^6)_3$ ,  $C_2(R^6)_5$ ,  $OC(R^6)_3$  or  $OC_2(R^6)_5$ , where

R<sup>6</sup> is in each case independently H or halogen-in-particular F; and

m is an integer from 0 to 4;

or salts of said <u>at least one compound</u> <del>compounds for preparing an agent for inhibition</del> of the urokinase plasminogen activator , and

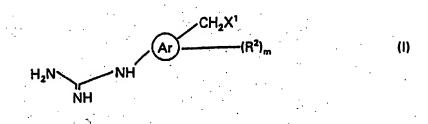
a pharmaceutically acceptable carrier therefor.

- 20. (Canceled)
- 21. (Canceled)
- 22. (Canceled)
- 23. (Currently amended) The use as claimed in A pharmaceutical composition according to claim 15 for preparing wherein said composition is adapted to be administered orally, topically, rectally or parenterally administrable medicaments.
- 24. (Currently amended) The use as claimed in A pharmaceutical composition according to claim 15 wherein said composition is adapted to be administered in the form of tablets, coated tablets, capsules, pellets, suppositories, solutions or transdermal systems such as plasters.
- 25. (Currently amended) A method for controlling pathological overexpression of urokinase or/and urokinase receptor in a patient in need of such control comprising administering to the patient a pharmaceutical composition according to claim 15 in a overexpression of urokinase or/and urokinase receptor controlling effective amount inhibiting urokinase in living creatures, in particular in humans, by administering an effective quantity of at least one compound as claimed in claim 15.
- 26. (Canceled)
- 27. (New) A method for controlling the formation of metastases in a patient in need of such control comprising administering to a patient a pharmaceutical composition according to claim 15 in a formation of metastases controlling effective amount.

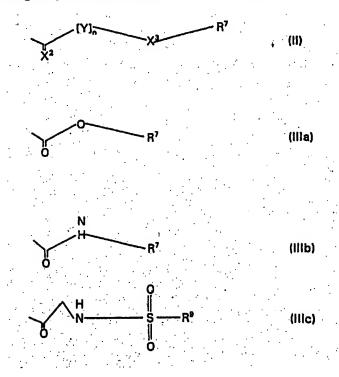




- 28. (New) A pharmaceutical kit comprising the following components:
- (a) at least one first anti-tumor agent of the formula (I)



- Ar is an aromatic or heteroaromatic ring system having a single ring;
- $X^1$  is NR<sup>3</sup>R<sup>4</sup>, OR<sup>3</sup>, SR<sup>3</sup>, COOR<sup>3</sup> CONR<sup>3</sup>R<sup>4</sup> or COR<sup>5</sup>, where
  - R<sup>3</sup> is H or a group of the formula II, Illa, Illb or Illc:



where

SO<sub>2</sub>-R<sup>9</sup>,

X<sup>2</sup> is NH, NR<sup>4</sup>, O or S,

X<sup>3</sup> is NH, NR<sup>4</sup>, O, S, CO, COO, CONH OR CONR<sup>4</sup>,

Y is  $C(R^8)_2$ ,

R<sup>4</sup> is H or an alkyl, alkenyl or alkynyl radical,

R<sup>7</sup> is H or an alkyl, alkenyl, alkynyl, aryl or/and heteroaryl radical or -

R<sup>8</sup> is in each case independently H, halogen or an alkyl, alkenyl, alkynyl, aryl or/and heteroaryl radical,

R<sup>9</sup> is H or an alkyl, alkenyl, alkynyl, aryl or/and heteroaryl radical and

n is an integer from 0 to 2,

R<sup>5</sup> is H, an alkyl, alkenyl, alkynyl, carboxyalkyl, carboxyalkenyl, carboxyalkynyl, carboxyaryl or carboxyheteroaryl radical;

 $R^2$  is halogen,  $C(R^6)_3$ ,  $C_2(R^6)_5$ ,  $OC(R^6)_3$  or  $OC^2(R^6)_5$ , where

R<sup>6</sup> is in each case independently H or halogen; and

m is an integer from 0 to 4;

or salts of said at least one compound, and

(b) a second anti-tumor agent,

wherein said first anti-tumor agent and said second anti-tumor agent are in separate containers.

- 29. (New) A kit according to claim 28, wherein R<sup>6</sup> in said compound of formula is F.
- 30. (New) A pharmaceutical composition according to claim 15, wherein said

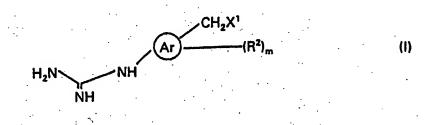


compound of the formula I has a K<sub>i</sub> that is at least two times lower for uPA than for tPA.

- 31. (New) A pharmaceutical composition according to claim 15, wherein said compound of the formula I has a K<sub>i</sub> that is at least five times lower for uPA than for tPA.
- 32. (New) A pharmaceutical composition according to claim 15, wherein said compound of the formula I has a K<sub>i</sub> that is at least 10 times lower for uPA than for tPA.
- 33. (New) A pharmaceutical composition according to claim 15, wherein said compound of the formula I has a K<sub>i</sub> that is at least 1000 times lower for uPA than for tPA.
- 34. (New) A pharmaceutical composition according to claim 15, wherein said compound of the formula I is conjugated with at least one physiological effective substance, wherein said substance is at least one radiolabelled substance.
- 35. (New) A kit according to claim 28, wherein said second anti-tumor agent is cisplatin, 5-fluorouracil or a peptide.
- 36. (New) A pharmaceutical composition according to claim 15, wherein said compound of the formula I is incorporated into a carrier vesicle.
- 37. (New) A pharmaceutical composition according to claim 15, wherein R<sup>6</sup> in said compound of formula I is F.
- 38. (New) A pharmaceutical composition according to claim 18, wherein said at least one aryl radical is a phenyl radical.

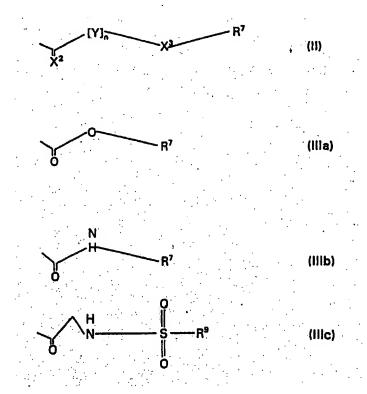


- 39. (New) A pharmaceutical composition according to claim 18, wherein said at least one cycloalkyl radical is a bicycloalkyl radical.
- 40. (New) A pharmaceutical composition according to claim 39, wherein said bicycloalkyl radical is an adamantyl radical.
- 41. (New) A pharmaceutical composition according to claim 19, wherein R<sup>6</sup> in said compound of formula I is F.
- 42. (New) A method for treating tumors in a patient in need of such treatment comprising administering to a patient a pharmaceutical composition according to claim 15 in a tumor treating effective amount.
- 43. (New) A compound of the formula (I)



- Ar is an aromatic or heteroaromatic ring system having a single ring;
- X<sup>1</sup> is NR<sup>3</sup>R<sup>4</sup>, OR<sup>3</sup>, SR<sup>3</sup>, COOR<sup>3</sup>, CONR<sup>3</sup>R<sup>4</sup> or COR<sup>5</sup>, where
  - R<sup>3</sup> is H or a group of the formula II, IIIa, IIIb or IIIc:

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where

X<sup>2</sup> is NH, NR<sup>4</sup>, O or S,

X<sup>3</sup> is NH, NR<sup>4</sup>, O, S, CO, COO, CONH OR CONR<sup>4</sup>,

Y is  $C(R^8)_2$ ,

R<sup>4</sup> is H or an alkyl, alkenyl or alkynyl radical,

R<sup>7</sup> is H or an alkyl, alkenyl, alkynyl, aryl or/and heteroaryl radical or -

SO<sub>2</sub>-R<sup>9</sup>,

R<sup>8</sup> is in each case independently H, halogen or an alkyl, alkenyl, alkynyl, aryl or/and heteroaryl radical,

bistrifluoroacetate salt form.

R<sup>9</sup> is H or an alkyl, alkenyl, alkynyl, aryl or/and heteroaryl radical and n is an integer from 0 to 2,

R<sup>5</sup> is H, an alkyl, alkenyl, alkynyl, carboxyalkyl, carboxyalkenyl, carboxyalkynyl, carboxyaryl or carboxyheteroaryl radical;

 $R^2$  is halogen,  $C(R^6)_3$ ,  $C_2(R^6)_5$ ,  $OC(R^6)_3$  or  $OC^2(R^6)_5$ , where

R<sup>6</sup> is in each case independently H or halogen; and

m is an integer from 0 to 4, with the provisos that when Ar=phenyl, m=O, CH<sub>2</sub>X<sup>1</sup> is not CH<sub>3</sub>COOH<sub>2</sub>,

when Ar=phenyl, m=0, X¹=NR³R⁴ with R⁴=H and R³= -C00R⁵ with R⁵=tertbutyl and m=0, the compound of formula (I) is not in the hydrochloride salt form, and when Ar=phenyl, m=0 and X¹=NH₂ the compound of formula (I) is not in the

- 44. (New) The compound of claim 43, in which Ar is a benzene ring.
- 45. (New) The compound of claim 44, in which the substituents -CH<sub>2</sub>X<sup>1</sup> and -NHC(NH)NH<sub>2</sub> are arranged in a para position to each other.
- 46. (New) The compound of claim 43, in which R<sup>7</sup> and R<sup>9</sup> are at least one aryl radical, at least one tertiary alkyl radical or at least one cycloalkyl radical.
- 47. (New) A compound according to claim 46, wherein said at least one aryl radical is a phenyl radical.
- 48. (New) A compound according to claim 46, wherein said at least one cycloalkyl radical is a bicycloalkyl radical.



- 49. (New) A compound according to claim 48, wherein said bicycloalkyl radical is an adamantyl radical.
- 50. (New) A compound according to claim 43 wherein R<sup>6</sup> in said compound of formula I is F.
- 51. (New) A method for inhibiting a urokinase plasminogen activator in a patient in need of such inhibition comprising administering to said patient a compound according to claim 43 in a urokinase plasminogen activator inhibiting effective amount.
- 52. (New) The method of claim 51, wherein Ar is a benzene ring.
- 53. (New) The method of claim 52, in which the substituents -CH<sub>2</sub>X<sup>1</sup> and -NHC(NH)NH<sub>2</sub> are arranged in a para position to each other.
- 54. (New) The method of claim 51, in which R<sup>7</sup> and R<sup>9</sup> are at least one aryl, at least one tertiary alkyl radical or at least one cycloalkyl radical.
- 55. (New) The method of claim 54, in which R<sup>7</sup> and R<sup>9</sup> are phenyl radicals.
- 56. (New) The method of claim 54, in which R<sup>7</sup> and R<sup>9</sup> are bicyloalkyl radicals.
- 57. (New) The method of claim 54, in which R<sup>7</sup> and R<sup>9</sup> are adamantyl.
- 58. (New) A method according to claim 51, wherein 0.01 to 100 mg of said compound is administered per kg of body weight per day.
- 59. (New) A method according to claim 58, wherein 0.1 to 100 mg of said compound



is administered per kg of body weight per day.

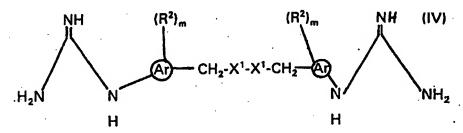
- 60. (New) A method according to claim 51, wherein R<sup>6</sup> in said compound of formula I is F.
- 61. (New) A method for controlling disorders which are related to a pathological overexpression of urokinase plasminogen activator in a patient in need of such inhibition comprising administering to said patient at least one compound according to claim 43 in a pathological overexpression of urokinase plasminogen activator inhibiting effective amount.
- 62. (New) The method of claim 61, in which Ar is a benzene ring.
- 63. (New) The method of claim 62, in which the substituents -CH<sub>2</sub>X<sup>1</sup> and -NHC(NH)NH<sub>2</sub> are arranged in a para position to each other.
- 64. (New) The method of claim 61, in which R<sup>7</sup> and R<sup>9</sup> are at least one aryl radical, at least one tertiary alkyl radical or at least one cycloalkyl radical.
- 65. (New) The method of claim 64, in which R<sup>7</sup> and R<sup>9</sup> are phenyl radicals.
- 66. (New) The method of claim 64, in which R<sup>7</sup> and R<sup>9</sup> are bicyloalkyl radicals.
- 67. (New) The method of claim 64, in which R<sup>7</sup> and R<sup>9</sup> are adamantyl.
- 68. (New) A method according to claim 61, wherein R<sup>6</sup> in said compound of formula I is F.

- 69. (New) A method for controlling tumors in a patient in need of such control comprising administering to said patient at least one compound according to claim 43 is administered in a tumor controlling effective amount.
- 70. (New) The method of claim 69, wherein Ar is a benzene ring.
- 71. (New) The method of claim 70, in which the substituents -CH<sub>2</sub>X<sup>1</sup> and -NHC(NH)NH<sub>2</sub> are arranged in a para position to each other.
- 72. (New) The method of claim 69, in which R<sup>7</sup> and R<sup>9</sup> are at least one aryl, at least one tertiary alkyl radical or at least one cycloalkyl radical.
- 73. (New) The method of claim 72, in which R<sup>7</sup> and R<sup>9</sup> are phenyl radicals.
- 74. (New) The method of claim 72, in which R<sup>7</sup> and R<sup>9</sup> are bicyloalkyl radicals.
- 75. (New) The method of claim 72, in which R<sup>7</sup> and R<sup>9</sup> are adamantyl.
- 76. (New) A method according to claim 69, wherein R<sup>6</sup> in said compound of formula I is F.
- 77. (New) A method for controlling the formation of metastasis in a patient in need of such control comprising administering to said patient at least one compound according to claim 43 in a formation of metastases controlling effective amount.
- 78. (New) The method of claim 77, wherein Ar is a benzene ring.
- 79. (New) The method of claim 78, in which the substituents -CH<sub>2</sub>X<sup>1</sup> and -



NHC(NH)NH<sub>2</sub> are arranged in a para position to each other.

- 80. (New) The method of claim 77, in which R<sup>7</sup> and R<sup>9</sup> are at least one aryl, at least one tertiary alkyl radical or at least one cycloalkyl radical.
- 81. (New) The method of claim 80, in which R<sup>7</sup> and R<sup>9</sup> are phenyl radicals.
- 82. (New) The method of claim 80, in which R<sup>7</sup> and R<sup>9</sup> are bicyloalkyl radicals.
- 83. (New) The method of claim 82, in which R<sup>7</sup> and R<sup>9</sup> are adamantyl.
- 84. (New) A compound of the formula (IV)



- X<sup>1</sup> is in each case independently NR<sup>3</sup>R<sup>4</sup>, OR<sup>3</sup>, SR<sup>3</sup>, COOR<sup>3</sup>, CONR<sup>3</sup>R<sup>4</sup> or COR<sup>5</sup>, where
  - R<sup>3</sup> is in each case independently H or any organic radical,
  - R<sup>4</sup> is in each case independently H or an alkyl, alkenyl or alkynyl radical;
- Ar is in each case independently an aromatic or heteroaromatic ring system,
- R<sup>2</sup> is in each case independently halogen,  $C(R^6)_3$ ,  $C_2(R^6)_5$ ,  $OC(R^6)_3$  or  $OC_2(R^6)_5$ , where



R<sup>6</sup> is in each case independently H or halogen; and m is an integer from 0 to 4; or salts of said compound.

- 85. (New) A method for inhibiting urokinase plasminogen activator in a patient in need of such inhibition comprising administering to said patient at least one compound according to claim 84.
- 86. (New) A method for controlling pathological overexpression of urokinase or/and urokinase receptor in a patient in need of such control comprising administering to said patient a pharmaceutical composition according to claim 19 in a overexpression of urokonase or/and urikinase receptor controlling effective amount.
- 87. (New) A method for controlling the formation of metastases in a patient in need of such control comprising administering to said patient a pharmaceutical composition according to claim 19 in a formation of metastases controlling effective amount.
- 88. (New) A method for treating tumors in a patient in need of such treatment comprising administering to said patient a pharmaceutical composition according to claim 19 in a tumor treating effective amount.

